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WHAT IS CLAIMED IS:

1. A compound having Formula I:

$$R_1$$
 X
 Y_1
 Y_2
 Z
 Z

or a pharmaceutically acceptable salt or prodrug thereof, wherein:

R₁ is C₁₋₂ alkyl or C₁₋₂ haloalkyl;

 R_2 is branched or unbranched alkyl or cycloalkyl or substituted or unsubstituted aryl, alkylaryl, heteroaryl, or alkylheteroaryl;

X is CONH, CH₂O, CH₂NH, CH₂S, or (CH₂)₁₋₃;

Y₁ is (CH₂)₁₋₅, wherein one or more carbon can be replaced by one or more heteroatoms selected from oxygen, sulfur, and nitrogen, and one or more hydrogens in CH₂ groups can be replaced by a branched or unbranched alkyl or cyclic alkyl or substituted or unsubstituted aryl, alkylaryl, heteroaryl, or alkylheteroaryl;

Y₂ is (CH₂)₁₋₅, wherein one or more carbon can be replaced by one or more heteroatoms selected from oxygen, sulfur, and nitrogen, and one or more hydrogens in CH₂ groups can be replaced by a branched or unbranched alkyl or cyclic alkyl or substituted or unsubstituted aryl, alkylaryl, heteroaryl, or alkylheteroaryl; and

Z is CONH, CH₂O, NHCO, (CH₂)₁₋₄, (CH₂)₁₋₃CONH(CH₂)₀₋₃, (CH₂)₁.

₃S(CH₂)₀₋₃, (CH₂)₁₋₃NH(CH₂)₀₋₃, (CH₂)₁₋₃NHCO(CH₂)₀₋₃, (CH₂)₁₋₃NHC(S)NH(CH₂)₀₋₃, (CH₂)₁₋₃NHC(S)NH(CH₂)₀₋₃, (CH₂)₁₋₃NR'(CH₂)₀₋₃, wherein R' is branched or unbranched alkyl or

cycloalkyl or substituted or unsubstituted aryl, alkylaryl, heteroaryl, or alkylheteroaryl.

- 2. The compound of claim 1, wherein X is CONH.
- 3. The compound of claim 1, wherein Z is CONH.
- 4. The compound of claim 1, wherein X and Z are CONH.
- 5. The compound of claim 1, wherein said compound is selected from the group consisting of:

$$H_2N$$

$$H_2N$$

$$\begin{array}{c|c} H_2N & & & \\ & & & \\ \hline \\ & & & \\ \end{array}$$

$$H_2N$$

$$H_2N$$
 H_2N
 H_2N

$$\begin{array}{c} CI \\ H_2N \\ H_2N$$

$$NH_2$$
 NH_2 NH_2

- 6. A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.
- 7. The pharmaceutical composition of claim 6, wherein X is CONH.
- 8. The pharmaceutical composition of claim 6, wherein Z is CONH.
- 9. The pharmaceutical composition of claim 6, wherein \boldsymbol{X} and \boldsymbol{Z} are CONH.
- 10. The pharmaceutical composition of claim 6, wherein said compound is selected from the group consisting of:

$$H_2N$$
 H_2N
 H_2N

- 11. A method of inducing apoptosis in a cell comprising contacting the cell with a compound of claim 1.
- 12. The method of claim 11, wherein X is CONH.
- 13. The method of claim 11, wherein Z is CONH.
- 14. The method of claim 11, wherein X and Z are CONH.
- 15. The method of claim 11, wherein said compound is selected from the group consisting of:

$$H_2N$$

- 16. A method of rendering a cell sensitive to an inducer of apoptosis comprising contacting the cell with a compound of claim 1.
- 17. The method of claim 16, further comprising contacting the cell with an inducer of apoptosis.

- 18. The method of claim 17, wherein said inducer of apoptosis is a chemotherapeutic agent.
- 19. The method of claim 17, wherein said inducer of apoptosis is radiation.
- 20. The method of claim 16, wherein X is CONH.
- 21. The method of claim 16, wherein Z is CONH.
- 22. The method of claim 16, wherein X and Z are CONH.
- 23. The method of claim 16, wherein said compound is selected from the group consisting of:

$$H_2N$$

$$H_2N$$

$$H_2N$$

$$H_2N$$

$$H_2N$$
 H_2N
 H_2N

- 24. A method of treating, ameliorating, or preventing a disorder responsive to the induction of apoptosis in an animal, comprising administering to said animal a therapeutically effective amount of a compound of claim 1 and an inducer of apoptosis.
- 25. The method of claim 24, wherein said inducer of apoptosis is a chemotherapeutic agent.
- 26. The method of claim 24, wherein said inducer of apoptosis is radiation.
- 27. The method of claim 24, wherein said disorder responsive to the induction of apoptosis is a hyperproliferative disease.

- 28. The method of claim 27, wherein said hyperproliferative disease is cancer.
- 29. The method of claim 24, wherein said compound of claim 1 is administered prior to said inducer of apoptosis.
- 30. The method of claim 24, wherein said compound of claim 1 is administered after said inducer of apoptosis.
- 31. The method of claim 24, wherein said compound of claim 1 is administered concurrently with said inducer of apoptosis.
- 32. The method of claim 24, wherein X is CONH.
- 33. The method of claim 24, wherein Z is CONH.
- 34. The method of claim 24, wherein X and Z are CONH.
- 35. The method of claim 24, wherein the compound is selected from the group consisting of:

$$H_2N$$

$$H_2N$$
 H_2N
 H_2N

$$H_2N$$
 H_2N
 H_2N

$$H_2N$$
 H_2N
 H_2N

NH₂

36. A kit comprising a compound of claim 1 and instructions for administering said compound to an animal.

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- 37. The kit of claim 36, further comprising an inducer of apoptosis.
- 38. The kit of claim 37, wherein said inducer of apoptosis is a chemotherapeutic agent.
- 39. The kit of claim 36, wherein said instructions are for administering said compound to an animal having a hyperproliferative disease.
- 40. The kit of claim 39, wherein said hyperproliferative disease is cancer.